

IN THE UNITED STATES PATENT AND TRADEMARK OFFICE

Appln. No. :10/810,517 Confirmation No.:1212
Patent No. :7,300,951
Applicant :Kreft et al.
Filed :March 26, 2004
Issued :November 27, 2007
TC/A.U. :1626
Examiner :Shameem, Golam M.
Customer No. :38199
Title :FLUORO- AND TRIFLUOROALKYL-CONTAINING
HETEROCYCLIC SULFONAMIDE INHIBITORS OF
BETA AMYLOID PRODUCTION AND DERIVATIVES
THEREOF

Attention: Certificate of Corrections Branch
Commissioner for Patents
PO Box 1450
Alexandria, VA 22313-1450

REQUEST FOR CERTIFICATE OF CORRECTION
UNDER 35 USC § 254

Sir:

The following errors were found in the above-identified patent.

- (1) Col. 70, line 21, replace "R2" with -- R₂ --.
- (2) Col. 70, line 24, start new paragraph after "alkynyl;" with -- R₃ --.
- (3) Col. 70, line 31, replace "R⁵" with -- R₅ --.
- (4) Col. 71, line 13, replace "-2-" with -- -2'- --.
- (5) Col. 71, line 24, replace "2sulfonamide;" with -- 2-sulfonamide; --.
- (6) Col. 71, line 34, replace "2hydroxyethyl]" with -- 2-hydroxyethyl] --.

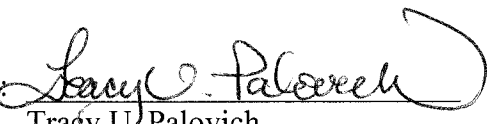
- (7) Col. 71, line 37, replace "thiophene2" with -- thiophene-2 --.
- (8) Col. 71, line 39, replace "2sulfonamide;" with -- 2-sulfonamide; --.
- (9) Col. 71, line 40, replace "1Acetyl" with -- 1-Acetyl --.
- (10) Col. 71, line 40, replace "2methylbutyl]" with -- 2-methylbutyl] --.
- (11) Col. 71, line 43, replace "2-sulfonamide;" with
-- 2-methylbutyl]thiophene-2-sulfonamide; --.
- (12) Col. 71, line 60, replace "2sulfonamide;" with -- 2-sulfonamide; --.
- (13) Col. 71, lines 66-67, replace "2(trifluoromethyl)propyl]" with
-- 2-(trifluoromethyl)propyl] --.
- (14) Col. 72, line 54, replace "(CF3)" with -- (CF₃) --.

It is requested that a Certificate of Correction be issued to correct the above errors in accordance with the enclosed forms, which are submitted herewith.

Because all errors were made by the US Patent and Trademark Office (USPTO), no fee is due for correction of these errors. To support Applicants' assertion that these are USPTO errors, Applicants have enclosed a copy of the relevant pages of the Response filed on September 8, 2006 which contains the correct language for original claim 1, issued claim 1; original claim 11, issued claim 11; and original claim 18; issued claim 18. The correct language in the Response is identified by a handwritten bolded box.

The director of the US Patent and Trademark Office is hereby authorized to charge any deficiency in any fees due with the filing of this paper or credit any overpayment in any fees paid on the filing, or during prosecution of this application to Deposit Account No. 08-3040.

Respectfully submitted,
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UNITED STATES PATENT AND TRADEMARK OFFICE CERTIFICATE OF CORRECTION

PATENT NO. 7,300,951
APPLICATION NO. 10/810,517
ISSUE DATE. November 27, 2007
INVENTOR(S). Kreft et al.

Page 1 of 1

It is certified that an error appears or errors appear in the above-identified patent and that said Letters Patent is hereby corrected as shown below:

- (1) Col. 70, line 21, replace "R2" with -- R_2 --.
- (2) Col. 70, line 24, start new paragraph after "alkynyl;" with -- R_3 --.
- (3) Col. 70, line 31, replace " R^5 " with -- R_5 --.
- (4) Col. 71, line 13, replace "-2-" with -- -2' - --.
- (5) Col. 71, line 24, replace "2sulfonamide;" with -- 2-sulfonamide; --.
- (6) Col. 71, line 34, replace "2hydroxyethyl]" with -- 2-hydroxyethyl] --.
- (7) Col. 71, line 37, replace "thiophene2" with -- thiophene-2 --.
- (8) Col. 71, line 39, replace "2sulfonamide;" with -- 2-sulfonamide; --.
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- (13) Col. 71, lines 66-67, replace "2(trifluoromethyl)propyl]" with
-- 2-(trifluoromethyl)propyl] --.
- (14) Col. 72, line 54, replace "(CF3)" with -- (CF_3) --.

MAILING ADDRESS OF SENDER (Please do not use customer number below):

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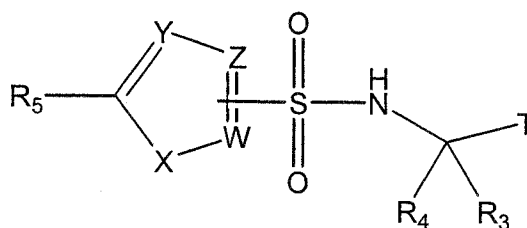
This collection of information is required by 37 CFR 1.322, 1.323, and 1.324. The information is required to obtain or retain a benefit by the public, which is to file (and by the USPTO to process) an application. Confidentiality is governed by 35 U.S.C 122 and 37 CFR 1.14. This collection is estimated to take 1.0 hour to complete, including gathering, preparing, and submitting the completed application form to the USPTO. Time will vary depending upon the individual case. Any comments on the amount of time you require to complete this form and/or suggestions for reducing this burden, should be sent to the Chief Information Officer, U.S. Patent and Trademark Office, U.S. Department of Commerce, P.O. Box 1450, Alexandria, VA 22313-1450. DO NOT SEND FEES OR COMPLETED FORMS TO THIS ADDRESS. SEND TO: Attention Certificate of Corrections Branch, Commissioner for Patents, P.O. Box 1450, Alexandria, VA 22313-1450.

AMENDMENTS TO THE CLAIMS

This listing of claims will replace all prior versions, and listings, of claims in the application:

Listing of Claims:

1(Original). A compound of Formula (I), or pharmaceutically acceptable salt thereof, wherein Formula (I) has the structure:



(I)

wherein:

T is selected from the group consisting of CHO, COR₈, and C(OH)R₁R₂;

R₁ and R₂ are independently selected from the group consisting of hydrogen, lower alkyl, substituted lower alkyl, CF₃, alkenyl, substituted alkenyl, alkynyl, and substituted alkynyl;

R₃ is selected from the group consisting of hydrogen, lower alkyl and substituted lower alkyl;

R₄ is selected from the group consisting of (CF₃)_nalkyl, (CF₃)_n(substitutedalkyl), (CF₃)_nalkylphenyl, (CF₃)_nalkyl(substitutedphenyl), and (F)_ncycloalkyl;

n=1-3;

R₅ is selected from the group consisting of hydrogen, halogen, CF₃, diene fused to Y when Y=C, and substituted diene fused to Y when Y=C;

W, Y and Z are independently selected from the group consisting of C, CR₆ and N with the proviso that at least one of W or Y or Z must be C;

9(Original). The compound according to claim 1, wherein X is S, W is C, Y is CH, Z is CH, R₅ is chlorine, R₄ is CF₃CHCF₃, R₃, R₁ and R₂ are each hydrogen, which has 1S stereochemistry.

10(Original). The compound according to claim 1, wherein W is N and X is NR₇.

11(Original). The compound according to claim 1, wherein the compound is selected from the group consisting of:

5-Chloro-N-[(1S, 2R)-4,4,4-trifluoro-1-(hydroxymethyl)-2-methylbutyl]thiophene-2-sulfonamide;

5-Chloro-N-[(1S, 2R)-2-ethyl-4,4,4-trifluoro-1-(hydroxymethyl)butyl]thiophene-2-sulfonamide;

5'-Chloro-N-[(1S, 2R)-2-ethyl, 4,4,4-trifluoro-1-(1-hydroxyethyl)butyl]thiophene-2'-sulfonamide;

5'-Chloro-N-[3,3,3-trifluoro-2-(trifluoromethyl)-1-hydroxymethyl]propyl]thiophene-2'-sulfonamide;

5'-Chloro-N-[3,3,3-trifluoro-2-(trifluoromethyl)-1-S-(hydroxymethyl)propyl]thiophene-2'-sulfonamide;

5-Chloro-N-[(1R, 2S)-2-ethyl-4,4,4-trifluoro-1-(hydroxymethyl)butyl]thiophene-2-sulfonamide;

5-Chloro-N-[4,4,4-trifluoro-1-(hydroxymethyl)butyl]thiophene-2-sulfonamide;

5-Chloro-N-[(1S, 2R)-4,4,4-trifluoro-1-[(1S)-1-hydroxyethyl]-2-methylbutyl]thiophene-2-sulfonamide;

5-Chloro-N-[(1S, 2R)-4,4,4-trifluoro-1-[(1R)-1-hydroxyethyl]-2-methylbutyl]thiophene-2-sulfonamide;

5-Chloro-N-[(1S, 2S)-4,4,4-trifluoro-1-(hydroxymethyl)-2-methylbutyl]thiophene-2-sulfonamide;

(2S, 3S)-2-(5-Chloro-3-methylbenzo[b]thiophene-2-sulfonyl)-amido-5,5,5-trifluoro-3-ethyl-pentan-1-ol;

(2S, 3R)-2-(5-Chloro-1,3-dimethyl-1H-pyrazole-4-sulfonyl)-amido-5,5,5-trifluoro-3-phenyl-pentan-1-ol;

5-Chloro-N-[1-(4,4-difluorocyclohexyl)-2-hydroxyethyl]thiophene-2-sulfonamide;

5-Chloro-N-[1-(6,6-difluorobicyclo[3.1.0]hex-3-yl)-2-hydroxyethyl]thiophene-2-sulfonamide;

5-Chloro-N-[(1S,2R)-4,4,4-trifluoro-1-formyl-2-methylbutyl]thiophene-2-sulfonamide;

N-[(1S,2R)-1-Acetyl-4,4,4-trifluoro-2-methylbutyl]-5-chlorothiophene-2-sulfonamide;

5-Chloro-N-[(1S,2R)-4,4,4-trifluoro-1-(1-hydroxy-1-methylethyl)-2-methylbutyl]thiophene-2-sulfonamide;

4-Bromo-5-chloro-N-[3,3,3-trifluoro-1-(hydroxymethyl)-2-(trifluoromethyl)propyl]thiophene-2-sulfonamide;

4-Bromo-5-chloro-N-[(1S)-3,3,3-trifluoro-1-(hydroxymethyl)-2-(trifluoromethyl)propyl]thiophene-2-sulfonamide;

5-Chloro 4-fluoro-N-[3,3,3-trifluoro-1-(hydroxymethyl)-2-(trifluoromethyl)propyl]thiophene-2-sulfonamide;

5-Bromo-N-[3,3,3-trifluoro-1-(hydroxymethyl)-2-(trifluoromethyl)propyl]thiophene-2-sulfonamide;

5-Fluoro-N-[3,3,3-trifluoro-1-(hydroxymethyl)-2-(trifluoromethyl)propyl]thiophene-2-sulfonamide;

5-Bromo-N-[(1S)-3,3,3-trifluoro-1-(hydroxymethyl)-2-(trifluoromethyl)propyl]thiophene-2-sulfonamide;

5-Fluoro-N-[(1S)-3,3,3-trifluoro-1-(hydroxymethyl)-2-(trifluoromethyl)propyl]thiophene-2-sulfonamide;

5-Chloro-N-[4,4,4-trifluoro-1-(hydroxymethyl)-2-(2,2,2-trifluoroethyl)butyl]thiophene-2-sulfonamide;

5-Chloro-N-[(1S)-(4,4,4-trifluoro-1-(hydroxymethyl)-2-(2,2,2-trifluoroethyl)butyl)]thiophene-2-sulfonamide;

4,5-Dichloro-N-[3,3,3-trifluoro-1-(hydroxymethyl)-2-(trifluoromethyl)propyl]thiophene-2-sulfonamide;

N-[(1S)-3,3,3-Trifluoro-1-(hydroxymethyl)-2-(trifluoromethyl)propyl]thiophene-3-sulfonamide;

2,5-Dichloro-N-[(1S)-3,3,3-trifluoro-1-(hydroxymethyl)-2-(trifluoromethyl)propyl]thiophene-3-sulfonamide;

N-[(1S)-3,3,3-Trifluoro-1-(hydroxymethyl)-2-(trifluoromethyl)propyl]thiophene-2-sulfonamide;

4,5-Dichloro-N-[(1S)-3,3,3-trifluoro-1-(hydroxymethyl)-2-(trifluoromethyl)propyl]thiophene-2-sulfonamide;

Thiophene-2-sulfonic acid (3,3,3-trifluoro-1-hydroxymethyl-2-trifluoromethyl-propyl)-amide;

Thiophene-3-sulfonic acid (3,3,3-trifluoro-1-hydroxymethyl-2-trifluoromethyl-propyl)-amide;

2,5-Dichloro-Thiophene-3-sulfonic acid (3,3,3-trifluoro-1-hydroxymethyl-2-trifluoromethyl-propyl)-amide;

4,5-Dibromo-N-[3,3,3-trifluoro-1-(hydroxymethyl)-2-(trifluoromethyl)propyl]thiophene-2-sulfonamide;

3-Bromo-5-chloro-N-[3,3,3-trifluoro-1-(hydroxymethyl)-2-(trifluoromethyl)propyl]thiophene-2-sulfonamide;

4-Bromo-2,5-dichloro-N-[3,3,3-trifluoro-1-(hydroxymethyl)-2-(trifluoromethyl)propyl]thiophene-2-sulfonamide;

Benzo[b]thiophene-2-sulfonic acid (3,3,3-trifluoro-1-(hydroxymethyl)-2-(trifluoromethyl)propyl)-amide;

5-Chloro-(3,3,3-trifluoro-1-hydroxymethyl-propyl)-thiophene-2-sulfonamide; and

5-Chloro-*N*-[(1*S*)-3,3,3-trifluoro-1-[(1*R*)-1-hydroxyethyl]-2-(trifluoromethyl)propyl]thiophene-2-sulfonamide;
or a pharmaceutically acceptable salt, hydrate, or prodrug thereof.

12(Original). The compound according to claim 1, which is 5-chloro-*N*-[(1*S*)-(4,4,4-trifluoro-1-(hydroxymethyl)-2-(2,2,2-trifluoroethyl)butyl)]thiophene-2-sulfonamide; or a pharmaceutically acceptable salt, hydrate, or prodrug thereof.

13(Original). The compound according to claim 1, wherein X is O, and W, Y and Z are independently selected from C and CR₆, provided that one of W, Y or Z is C.

14(Original). The compound according to claim 13, wherein R₅ is halogen, R₄ is selected from the group consisting of (CF₃)_nloweralkyl, (CF₃)_n(substitutedloweralkyl), (CF₃)_nloweralkylphenyl, (CF₃)_nloweralkyl(substitutedphenyl) of *S*-stereochemistry, and R₃, R₁ and R₂ are all H.

15(Original). The compound according to claim 1, wherein T is C(OH)R₁R₂, R₁, R₂, and R₃ are H, and R₄ is (F)_ncycloalkyl.

16(Original). The compound according to claim 1, wherein T is C(OH)R₁R₂, R₁, R₂, and R₃ are H, and R₄ is (CF₃)_nalkyl.

17(Original). The compound according to claim 1, wherein T is C(OH)R₁R₂, R₁ is CH₃, R₂ is H, R₃ is H, and R₄ is (CF₃)_nalkyl.

18(Original). The compound according to claim 1, wherein T is CHO, R₃ is H, and R₄ is (CF₃)_nalkyl.

19(Original). The compound according to claim 1, wherein T is C(OH)R₁R₂, R₁, R₂ and R₃ are H, and R₄ is (CF₃)₂CH of *S*-stereochemistry.